

26/11/2004

10723679

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NEWS 7 SEP 27 SWETSCAN will no longer be available on STN
NEWS 8 OCT 28 KOREAPAT now available on STN
NEWS 9 NOV 18 Current-awareness alerts, saved answer sets, and current
search transcripts to be affected by CERAB, COMPUAB, ELCOM,
and SOLIDSTATE reloads

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * STN Columbus * * * * * * * * * * *

FILE 'HOME' ENTERED AT 20:19:36 ON 26 NOV 2004

=> E REG

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

The EXPAND command is used to look at the index in a file
which has an index. This file does not have an index.

=> FILE REG

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY SESSION

FULL ESTIMATED COST

0.21

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FILE 'REGISTRY' ENTERED AT 20:19:45 ON 26 NOV 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 NOV 2004 HIGHEST RN 788132-72-9
DICTIONARY FILE UPDATES: 24 NOV 2004 HIGHEST RN 788132-72-9

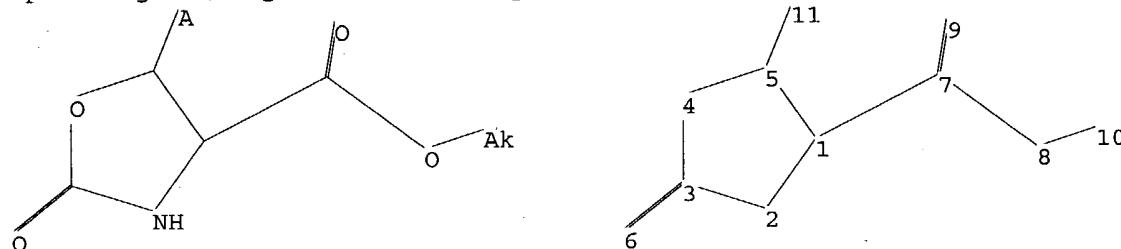
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10723679.str



chain nodes :
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
1-7 3-6 5-11 7-8 7-9 8-10
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 2-3 3-6 5-11 7-8 7-9 8-10
exact bonds :
1-5 1-7 3-4 4-5
isolated ring systems :
containing 1 :

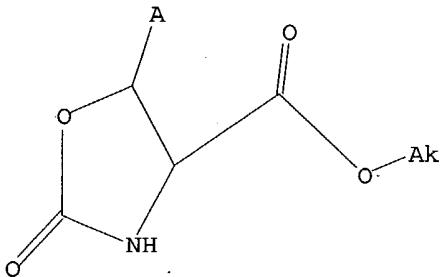
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS

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L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL
FULL SEARCH INITIATED 20:20:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2934 TO ITERATE

100.0% PROCESSED 2934 ITERATIONS 79 ANSWERS
SEARCH TIME: 00.00.01

L2 79 SEA SSS FUL L1

=> FILE CAPLUS
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
155.42 155.63

FILE 'CAPLUS' ENTERED AT 20:20:18 ON 26 NOV 2004
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FILE COVERS 1907 - 26 Nov 2004 VOL 141 ISS 23
FILE LAST UPDATED: 25 Nov 2004 (20041125/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L2 AND (THIONYL(L)CHLORIDE)
62 L2

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13442 THIONYL

2 THIONYLS

13444 THIONYL

(THIONYL OR THIONYLS)

1010638 CHLORIDE

150630 CHLORIDES

1079324 CHLORIDE

(CHLORIDE OR CHLORIDES)

12725 THIONYL(L) CHLORIDE

L3 1 L2 AND (THIONYL(L) CHLORIDE)

=> D IBIB ABS HITSTR TOT

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L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001-167979 CAPLUS

DOCUMENT NUMBER: 134:193739

TITLE: Processes for the preparation of 2-oxooxazoline or alloamino acid derivs.

INVENTOR(S): Kobayashi, Makoto; Nagai, Masahiko; Koike, Haruo

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

PCT Intl. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

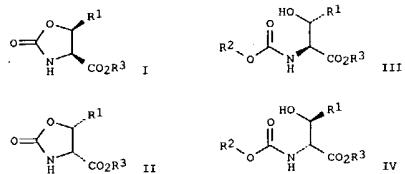
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2001016117 | A1 | 20010308 | WO 2000-JPS753 | 20000825 |
| W: AT, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, US, UZ, VN, YU, ZA, PW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GN, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NR, SN, TD, TG | | | | |
| CA 2383300 | A1 | 20010308 | CA 2000-2383300 | 20000825 |
| EP 1213284 | A1 | 20020612 | EP 2000-955029 | 20000825 |
| EP 1213284 | B1 | 20041013 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| CN 1489728 | A | 20040324 | CN 2003-152639 | 20000825 |
| AT 279435 | E | 20041015 | AT 2000-955029 | 20000825 |
| US 6541641 | B1 | 20030401 | US 2002-70974 | 20020619 |
| US 2003055264 | A1 | 20030320 | US 2002-229819 | 20020827 |
| US 6747157 | B2 | 20040608 | | |
| US 2004077876 | A1 | 20040422 | US 2003-723679 | 20031125 |
| US 2004106820 | A1 | 20040603 | US 2003-723136 | 20031125 |
| | | | JP 1999-242647 | A 19990830 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | WO 2000-JPS753 | W 20000825 |
| | | | US 2002-70974 | A3 20020619 |
| | | | US 2002-229819 | A3 20020827 |

OTHER SOURCE(S): CASREACT 134:193739; MARPAT 134:193739
GI

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)



AB: A process for the preparation of compds. of general formula (I) or (II) (wherein R1 is optionally substituted lower alkyl, aryl, alkenyl, or heteroaryl; R2 is lower alkyl, optionally substituted aralkyl, or heteroarylalkyl; and R3 is lower alkyl) comprises treating a compound of general formula (III) or (IV) with thionyl chloride for cyclization and epimerization. This process stereoselectively and economically gives 2-oxooxazoline or alloamino acid derivs. The compds.

I and II are important intermediates for TRH (TSH releasing hormone) derivs.

Thus, 50.0 g N-benzyloxycarbonyl-L-threonine Me ester (preparation given) and 24.48 g SOCl₂ were added to 250 mL toluene, stirred at 80° for 8 h, cooled to room temperature, and extracted with 150 mL H₂O, followed by washing the aqueous layer with 25 mL toluene and reextg. each toluene layer with 50 mL H₂O. The combined aqueous layer was treated with 18.94 g 36% HCl and stirred at 80° for 1 h, followed by distilling off water, adding 100 mL water, and then concentrating the mixture. To the concentrate residue was added 200 mL MeCN and then concentrated, followed by repeating the same procedure two more times and adding MeCN to a total volume of approx. 50 mL. The obtained slurry was stirred at 0-5° for 1 h and the precipitated crystals were collected by filtration, and dried to give 17.4% I (R1 = me, R3 = H) (64% yield). The latter compound (3.00 g) was treated with 10.5 g 36% HCl and refluxed for 15

h, followed by distilling off water, adding 10 mL water, and concentrating the mixture to an oil. The obtained oil was dissolved in 10 mL water and adjusted at pH 6.0 by adding aqueous LiOH, followed by distilling off water. To the obtained solid was added 8 mL MeOH and stirred at room temperature for 1 h, and filtered to give, after drying, 2.25 g L-allo-Threonine (91% yield).

IT 182267-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

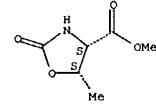
(Reactant or reagent)

(prep. of oxooxazoline or alloamino acid derivs. by cyclization and epimerization of N-benzyloxycarbonylthreonine with thionyl chloride to oxooxazoline deriv. followed by esterification or hydrolysis)

RN 182267-22-7 CAPLUS

CN 4-oxazolidinecarboxylic acid, 5-methyl-2-oxo-, methyl ester, (4S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> LOGOFF

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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| CA SUBSCRIBER PRICE | -0.70 | -0.70 |

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